

Applicants: VanGoor et al.
 Application No: 10/800,022

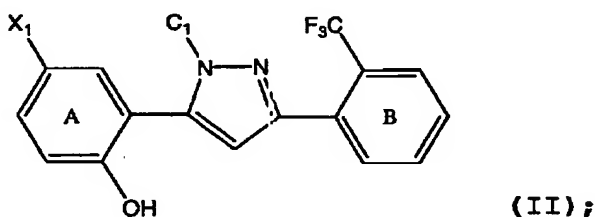
AMENDMENTS

Please replace all prior versions and listings of claims with the amended claims as follows:

IN THE CLAIMS

1-51. (Canceled)

52. (currently amended) A compound of formula (II):



or a pharmaceutically acceptable salt thereof, wherein:

C_1 is H, ~~aryl, heterocyclic, heteroaryl, aliphatic,~~
 ~~$C(O)R^2$, $C(O)R^3$, $C(O)NH_2$, $C(O)NHR^2$, $C(O)NHR^3$, $C(O)N(R^2)_2$,~~
 ~~$C(O)N(R^3)_2$,~~

X_1 is selected from halo, ~~R^2 , CF_3 , CN, COOH, COOR,~~
 ~~$C(O)R$, $C(O)NH_2$, $C(O)NHR$, or $C(O)N(R)_2$;~~

each R is independently R^2 or R^3 ;

wherein each of ring B, optionally including X_1 and OH,
 and C_1 optionally comprises up to 4 substituents, and ring A
 optionally comprises up to 3 substituents, wherein said
 substituents are independently selected from R^1 , R^2 , R^3 ,
 R^4 , or R^5 ;

R^1 is R^6 or $(CH_2)_n-Y$;

n is 0, 1 or 2;

Y is halo, CN, NO_2 , CF_3 , CHF_2 , CH_2F ,

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OCF₃, OH, SCHF₂, SR⁶, S(O)R⁶, SO₂R⁶, NH₂, NHR⁶, N(R⁶)₂, NR⁶R⁸, COOH, COOR⁶ or OR⁶; or

two R¹ on adjacent ring atoms, taken together, form 1,2-methylenedioxy, 1,2-difluoromethylenedioxy, or 1,2-ethylenedioxy;

R² is aliphatic, wherein each R² optionally comprises up to 2 substituents independently selected from R¹, R⁴, or R⁵;

R³ is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally comprising up to 3 substituents, independently selected from R¹, R², R⁴ or R⁵;

R⁴ is OR⁵, OR⁶, OC(O)R⁶, OC(O)R⁵, OC(O)OR⁶, OC(O)OR⁵, OC(O)N(R⁶)₂, OC(O)N(R⁵)₂, OC(O)N(R⁶R⁵), OP(O)(OR⁶)₂, OP(O)(OR⁵)₂, OP(O)(OR⁶)(OR⁵), SR⁶, SR⁵, S(O)R⁶, S(O)R⁵, SO₂R⁶, SO₂R⁵, SO₂N(R⁶)₂, SO₂N(R⁵)₂, SO₂NR⁵R⁶, SO₃R⁶, SO₃R⁵, C(O)R⁵, C(O)OR⁵, C(O)R⁶, C(O)OR⁶, C(O)N(R⁶)₂, C(O)N(R⁵)₂, C(O)N(R⁵R⁶), C(O)N(OR⁶)R⁶, C(O)N(OR⁵)R⁶, C(O)N(OR⁶)R⁵, C(O)N(OR⁵)R⁵, C(NOR⁶)R⁶, C(NOR⁶)R⁵, C(NOR⁵)R⁶, C(NOR⁵)R⁵, N(R⁶)₂, N(R⁵)₂, N(R⁵R⁶), NR⁵C(O)R⁵, NR⁶C(O)R⁶, NR⁶C(O)R⁵, NR⁶C(O)OR⁶, NR⁵C(O)OR⁶, NR⁶C(O)OR⁵, NR⁵C(O)OR⁵, NR⁶C(O)N(R⁶)₂, NR⁶C(O)NR⁵R⁶, NR⁶C(O)N(R⁵)₂, NR⁵C(O)N(R⁶)₂, NR⁵C(O)NR⁵R⁶, NR⁵C(O)N(R⁵)₂, NR⁶SO₂R⁶, NR⁶SO₂R⁵, NR⁵SO₂R⁵, NR⁶SO₂N(R⁶)₂, NR⁶SO₂NR⁵R⁶, NR⁶SO₂N(R⁵)₂, NR⁵SO₂NR⁵R⁶, NR⁵SO₂N(R⁵)₂, N(OR⁶)R⁶, N(OR⁶)R⁵, N(OR⁵)R⁵, N(OR⁵)R⁶, P(O)(OR⁶)N(R⁶)₂, P(O)(OR⁶)N(R⁵R⁶), P(O)(OR⁶)N(R⁵)₂, P(O)(OR⁵)N(R⁵R⁶), P(O)(OR⁵)N(R⁶)₂, P(O)(OR⁵)N(R⁵)₂, P(O)(OR⁶)₂, P(O)(OR⁵)₂, or P(O)(OR⁶)(OR⁵);

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R^5 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally optionally comprising up to 3 R^1 substituents;

R^6 is H or aliphatic, wherein R^6 optionally comprises a R^7 substituent;

R^7 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring and each R^7 optionally comprising up to 2 substituents independently chosen from H, (C_1-C_6) -straight or branched alkyl, (C_2-C_6) straight or branched alkenyl or alkynyl, 1,2-methylenedioxy, 1,2-ethylenedioxy, or $(CH_2)_n-Z$;

Z is selected from halo, CN, NO_2 , CHF_2 , CH_2F , CF_3 , OCF_3 , OH, $SCHF_2$, S-aliphatic, S(O)-aliphatic, SO_2 -aliphatic, NH_2 , N-aliphatic, $N(aliphatic)_2$, $N(aliphatic)R^8$, $COOH$, $C(O)O(-aliphatic)$, or O-aliphatic; and

R^8 is an amino protecting group.

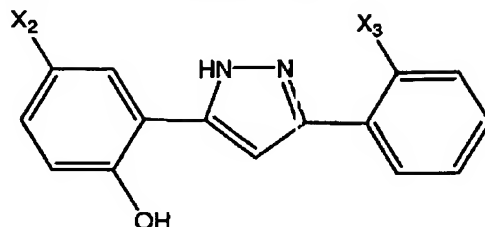
53. (Canceled)

54. (currently amended) The compound according to claim 53, wherein X_1 is ~~selected from (C_1-C_4) aliphatic, or $C(O)-NH_2$, F.~~

55. (currently amended) A compound having formula (III):

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(III);

or a pharmaceutically acceptable salt thereof, wherein:

X_2 is selected from halo, R^2 , CF_3 , CN , $COOH$, $COOR^2$, $COOR^3$, $C(O)R^2$, $C(O)R^3$, $C(O)NH_2$, $C(O)NHR$, or $C(O)NR^2$;

X_3 is selected from H, halo, CF_3 , or NO_2 ;

each R is independently R^2 or R^3 ;

R^1 is oxo, R^6 or $(CH_2)_n-Y$;

n is 0, 1 or 2;

Y is halo, CN, NO_2 , CHF_2 , CH_2F , CF_3 , OCF_3 , OH, $SCHF_2$, SR^6 , $S(O)R^6$, SO_2R^6 , NH_2 , NHR^6 , $N(R^6)_2$, NR^6R^8 , $COOH$, $COOR^6$ or OR^6 ; or

two R^1 on adjacent ring atoms, taken together, form 1,2-methylenedioxy, 1,2-difluoromethylenedioxy, or 1,2-ethylenedioxy;

R^2 is aliphatic, wherein each R^2 optionally comprises up to 2 substituents independently selected from R^1 , R^4 , or R^5 ;

R^3 is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally comprising up to 3 substituents, independently selected from R^1 , R^2 , R^4 or R^5 ;

R^4 is OR^5 , OR^6 , $OC(O)R^6$, $OC(O)R^5$, $OC(O)OR^6$, $OC(O)OR^5$, $OC(O)N(R^6)_2$, $OC(O)N(R^5)_2$, $OC(O)N(R^6R^5)$, $OP(O)(OR^6)_2$, $OP(O)(OR^5)_2$, $OP(O)(OR^6)(OR^5)$, SR^6 , SR^5 , $S(O)R^6$, $S(O)R^5$, SO_2R^6 , SO_2R^5 , $SO_2N(R^6)_2$, $SO_2N(R^5)_2$, $SO_2NR^5R^6$, SO_3R^6 , SO_3R^5 ,

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C(O)R⁵, C(O)OR⁵, C(O)R⁶, C(O)OR⁶, C(O)N(R⁶)₂, C(O)N(R⁵)₂,
C(O)N(R⁵R⁶), C(O)N(OR⁶)R⁶, C(O)N(OR⁵)R⁶, C(O)N(OR⁶)R⁵,
C(O)N(OR⁵)R⁵, C(NOR⁶)R⁶, C(NOR⁶)R⁵, C(NOR⁵)R⁶, C(NOR⁵)R⁵,
N(R⁶)₂, N(R⁵)₂, N(R⁵R⁶), NR⁵C(O)R⁵, NR⁶C(O)R⁶, NR⁶C(O)R⁵,
NR⁶C(O)OR⁶, NR⁵C(O)OR⁶, NR⁶C(O)OR⁵, NR⁵C(O)OR⁵,
NR⁶C(O)N(R⁶)₂, NR⁶C(O)NR⁵R⁶, NR⁶C(O)N(R⁵)₂, NR⁵C(O)N(R⁶)₂,
NR⁵C(O)NR⁵R⁶, NR⁵C(O)N(R⁵)₂, NR⁶SO₂R⁶, NR⁶SO₂R⁵, NR⁵SO₂R⁵,
NR⁶SO₂N(R⁶)₂, NR⁶SO₂NR⁵R⁶, NR⁶SO₂N(R⁵)₂, NR⁵SO₂NR⁵R⁶,
NR⁵SO₂N(R⁵)₂, N(OR⁶)R⁶, N(OR⁶)R⁵, N(OR⁵)R⁵, N(OR⁵)R⁶,
P(O)(OR⁶)N(R⁶)₂, P(O)(OR⁶)N(R⁵R⁶), P(O)(OR⁶)N(R⁵)₂,
P(O)(OR⁵)N(R⁵R⁶), P(O)(OR⁵)N(R⁶)₂, P(O)(OR⁵)N(R⁵)₂,
P(O)(OR⁶)₂, P(O)(OR⁵)₂, or P(O)(OR⁶)(OR⁵);

R⁵ is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally optionally comprising up to 3 R¹ substituents;

R⁶ is H or aliphatic, wherein R⁶ optionally comprises a R⁷ substituent;

R⁷ is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring and each R⁷ optionally comprising up to 2 substituents independently chosen from H, (C₁-C₆)-straight or branched alkyl, (C₂-C₆) straight or branched alkenyl or alkynyl, 1,2-methylenedioxy, 1,2-ethylenedioxy, or (CH₂)_n-Z;

Z is selected from halo, CN, NO₂, CHF₂, CH₂F, CF₃, OCF₃, OH, SCHF₂, S-aliphatic, S(O)-aliphatic, SO₂-aliphatic, NH₂, N-aliphatic, N(aliphatic)₂, N(aliphatic)R⁸, COOH, C(O)O(-aliphatic, or O-aliphatic; and

R⁸ is an amino protecting group;
provided that:

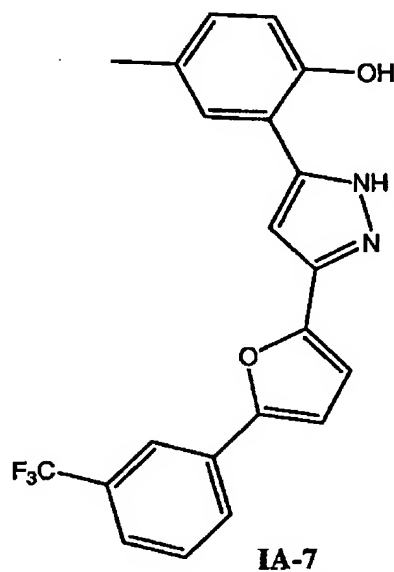
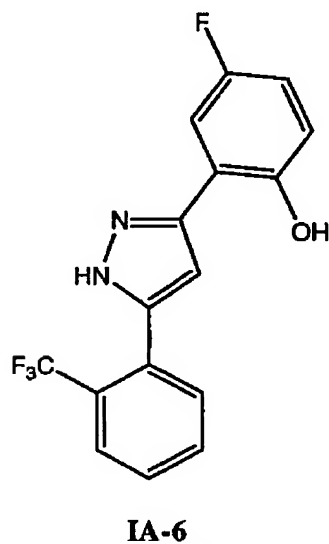
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- (i) when X_1 is H, then X_2 is not methyl, chloro, or bromo;
- (ii) when X_1 is chloro, then X_2 is not fluoro, chloro, or nitro;
- (iii) when X_1 is methyl, then X_2 is not nitro or chloro.

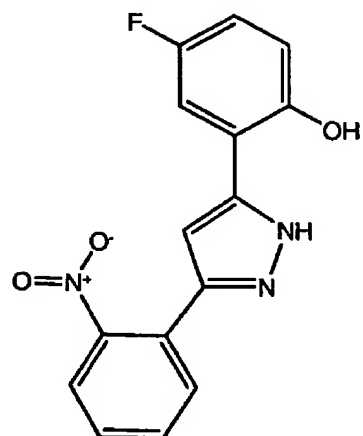
56-82. (Canceled)

83. (currently amended) A compound selected from ~~IA-6, IA-7, IA-20, IA-26, IA-31, IA-42, IA-50, IA-54, IA-61, IA-64, IA-76, IA-92, IA-95, or IA-107.~~:

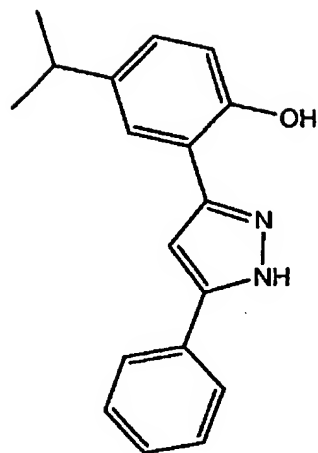


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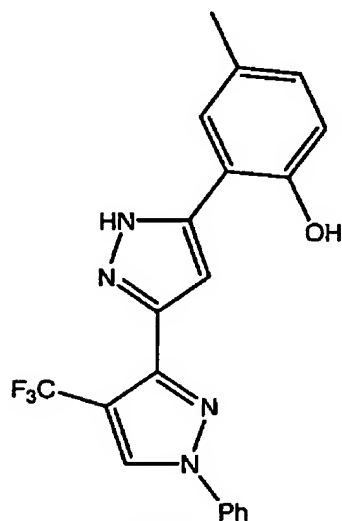
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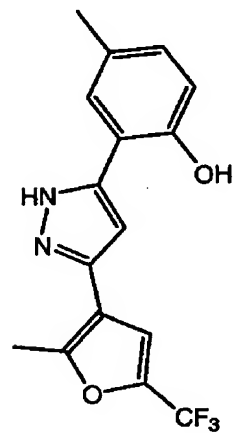
IA-20



IA-26



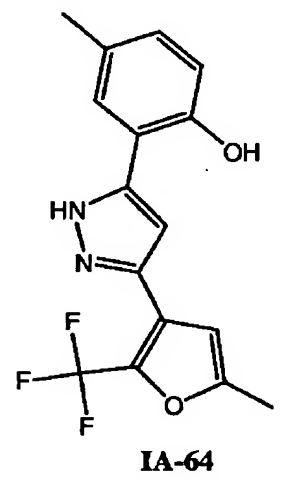
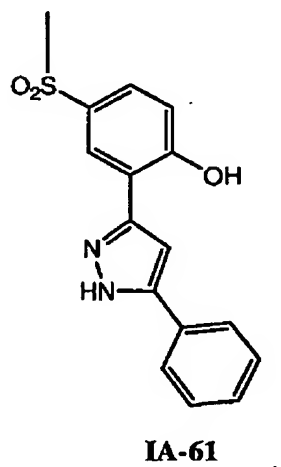
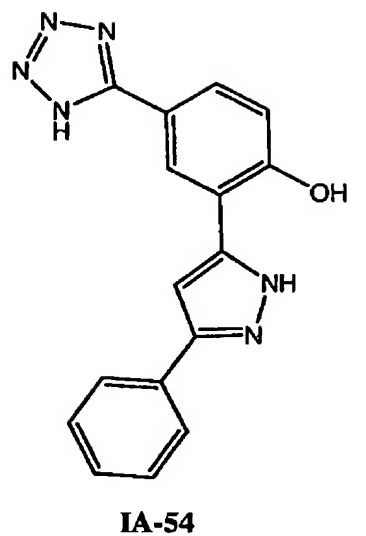
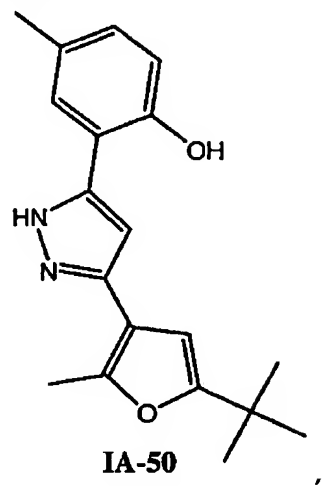
IA-31



IA-42

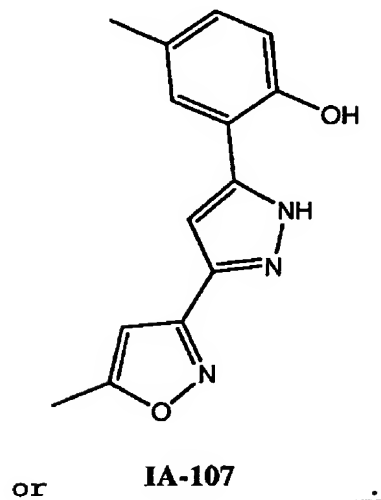
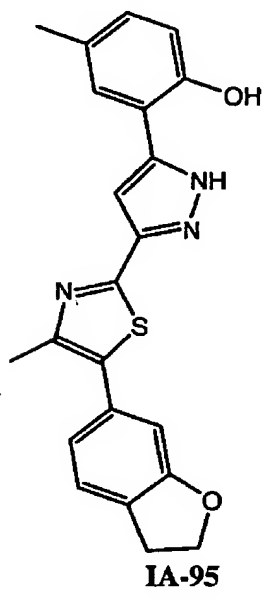
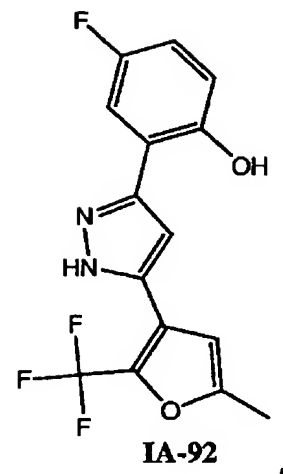
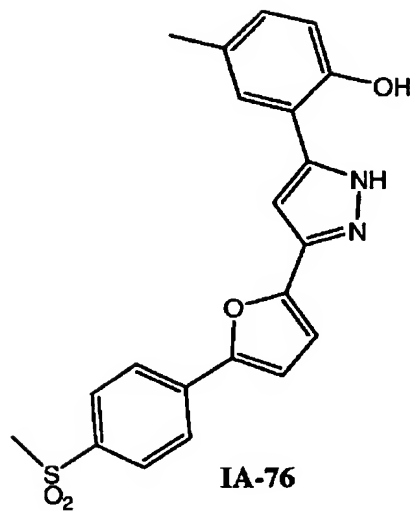
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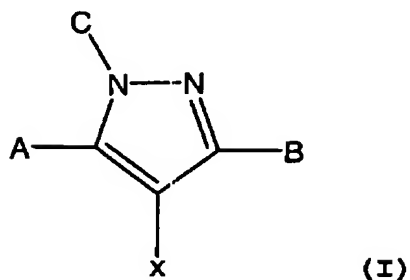


84. (currently amended) A pharmaceutical composition comprising a compound according to any one of claims 40-83, 52, 55, 83, 85, and 86, and a pharmaceutically acceptable carrier or adjuvant.

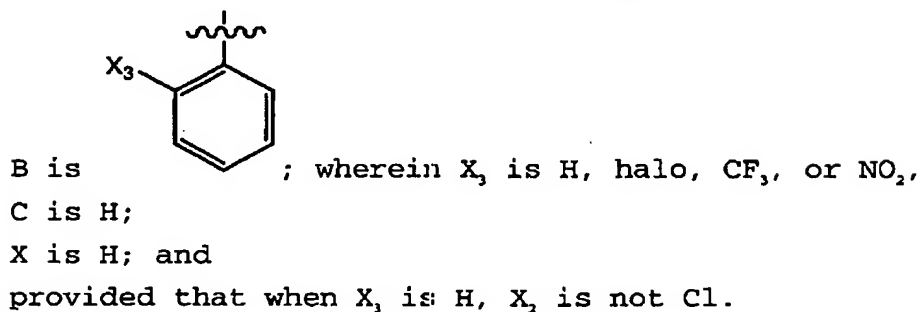
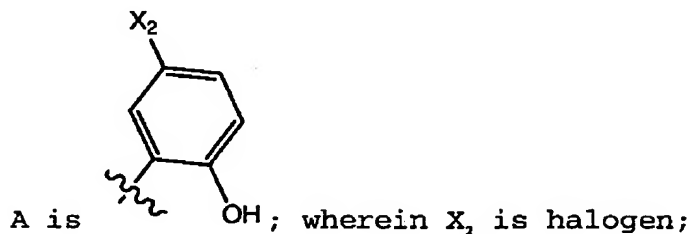
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85. (new) A compound of formula (I):



or a pharmaceutically acceptable salt thereof;
wherein:



86. (new) The compound according to claim 85,
wherein said compound has one or more of the features
selected from the group:

- (a) X₃ is halo, CF₃, or NO₂; and
- (b) X₂ is halo.